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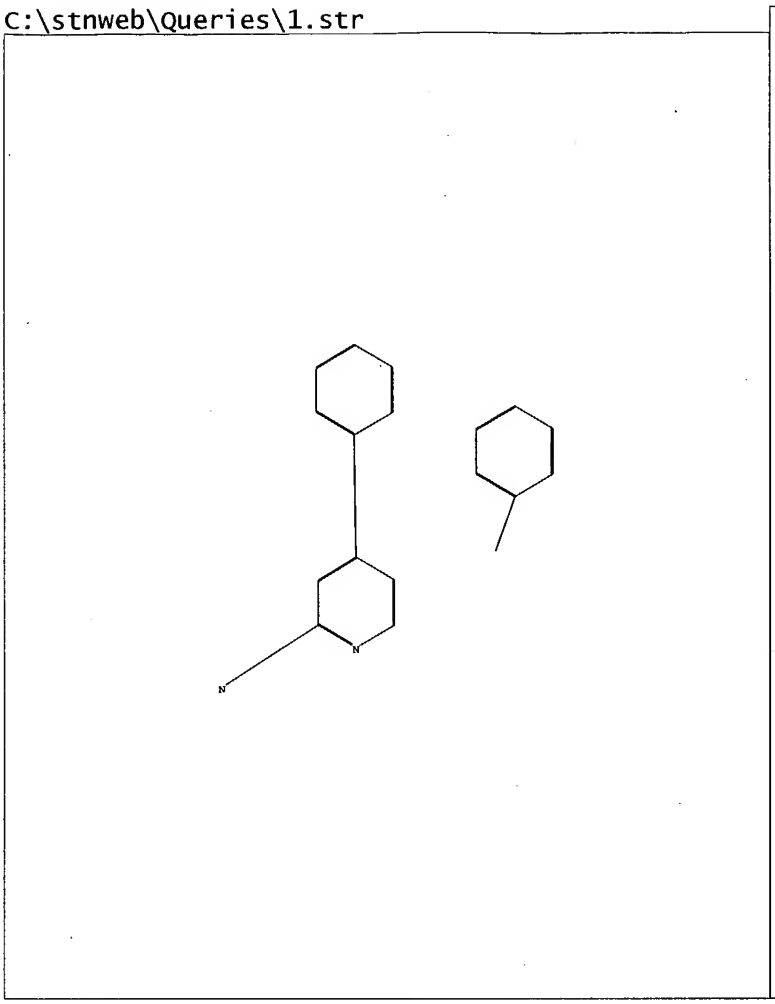

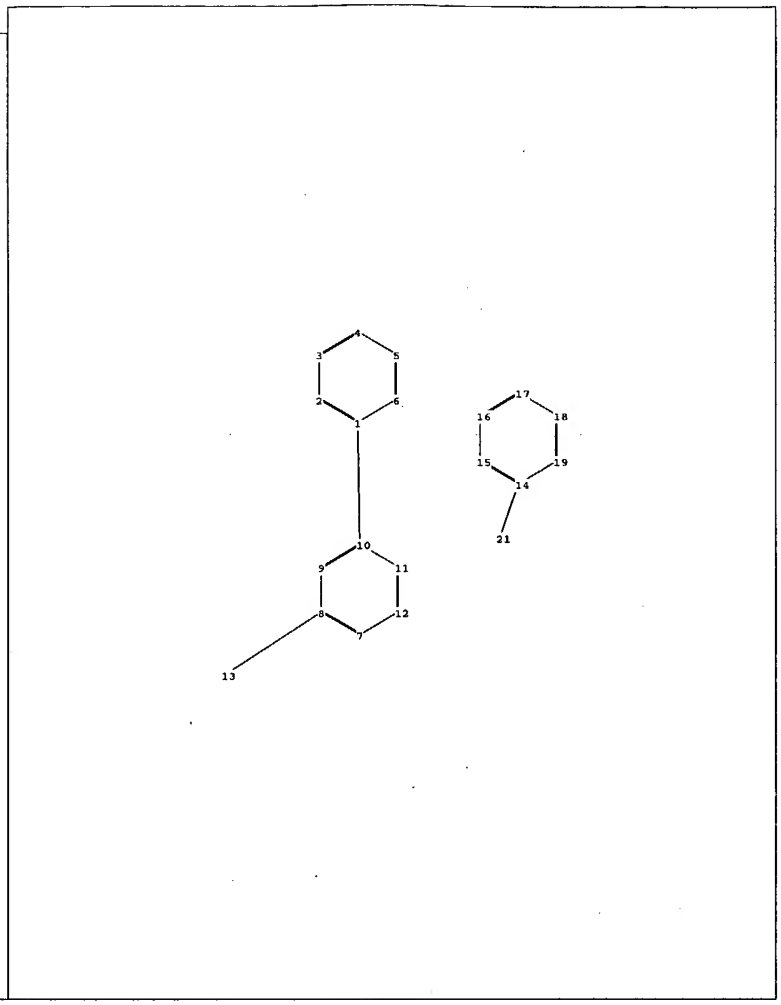

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chain nodes :
    21
ring nodes :
    1  2  3  4  5  6  7  8  9  10  11  12  13  14  15  16  17  18  19
chain bonds :
    1-10  8-13  14-21
ring bonds :
    1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  14-15  14-19  15-16
    16-17  17-18  18-19
exact/norm bonds :
    8-13
exact bonds :
    1-10  14-21
normalized bonds :
    1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12  14-15  14-19  15-16
    16-17  17-18  18-19
isolated ring systems :
    containing 1 : 7 : 14 :


Match level :
    1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom  11:Atom
    12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom  21:CLASS
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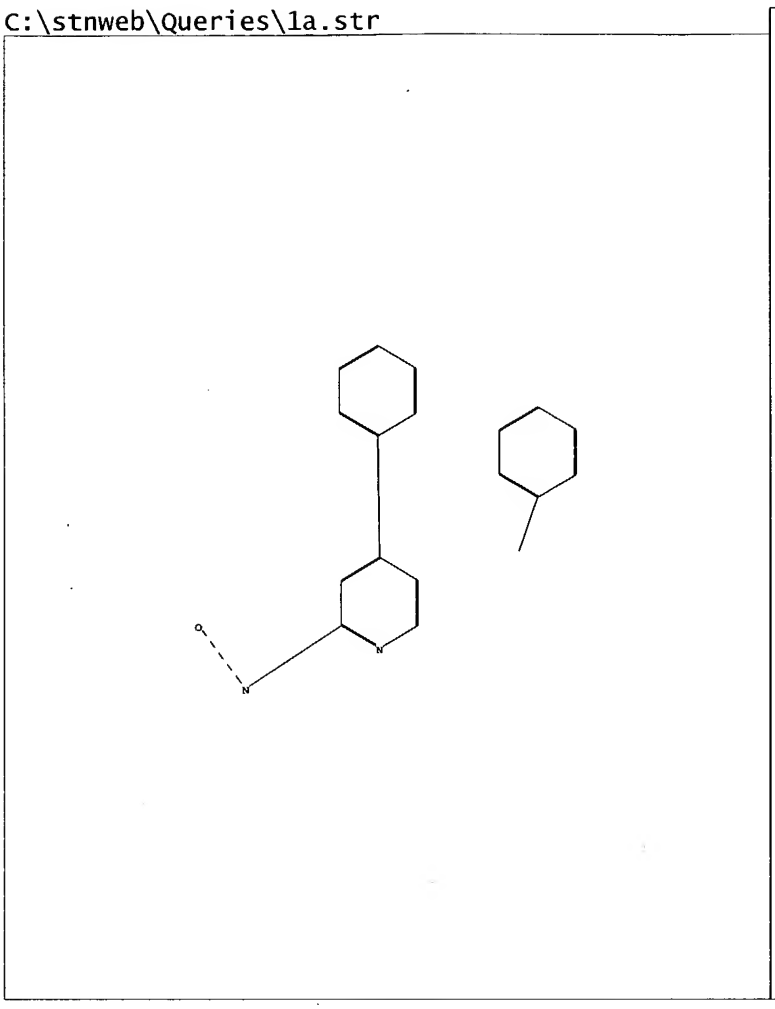

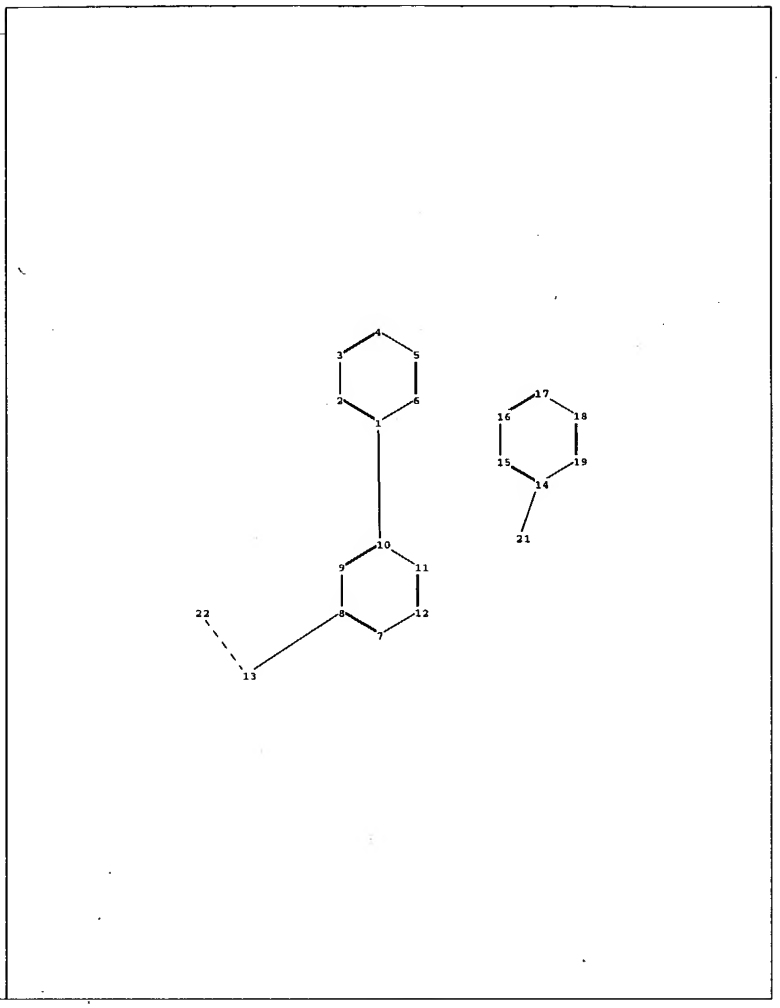

chain nodes :
21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19
chain bonds :
1-10 8-13 13-22 14-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 14-15 14-19 15-16
16-17 17-18 18-19
exact/norm bonds :
8-13 13-22
exact bonds :
1-10 14-21
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 14-15 14-19 15-16
16-17 17-18 18-19
isolated ring systems :
containing 1 : 7 : 14 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 21:CLASS 22:CLASS

```
* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1            Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2            "Ask CAS" for self-help around the clock
 NEWS  3  SEP 09    CA/CAplus records now contain indexing from 1907 to the
                    present
 NEWS  4  DEC 08    INPADOC: Legal Status data reloaded
 NEWS  5  SEP 29    DISSABS now available on STN
 NEWS  6  OCT 10    PCTFULL: Two new display fields added
 NEWS  7  OCT 21    BIOSIS file reloaded and enhanced
 NEWS  8  OCT 28    BIOSIS file segment of TOXCENTER reloaded and enhanced
 NEWS  9  NOV 24    MSDS-CCOHS file reloaded
 NEWS 10  DEC 08    CABA reloaded with left truncation
 NEWS 11  DEC 08    IMS file names changed
 NEWS 12  DEC 09    Experimental property data collected by CAS now available
                    in REGISTRY
 NEWS 13  DEC 09    STN Entry Date available for display in REGISTRY and CA/CAplus
 NEWS 14  DEC 17    DGENE: Two new display fields added
 NEWS 15  DEC 18    BIOTECHNO no longer updated
 NEWS 16  DEC 19    CROPU no longer updated; subscriber discount no longer
                    available
 NEWS 17  DEC 22    Additional INPI reactions and pre-1907 documents added to CAS
                    databases
 NEWS 18  DEC 22    IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
 NEWS 19  DEC 22    ABI-INFORM now available on STN
 NEWS 20  JAN 27    Source of Registration (SR) information in REGISTRY updated
                    and searchable
 NEWS 21  JAN 27    A new search aid, the Company Name Thesaurus, available in
                    CA/CAplus
 NEWS 22  FEB 05    German (DE) application and patent publication number format
                    changes
 NEWS 23  MAR 03    MEDLINE and LMEDLINE reloaded
 NEWS 24  MAR 03    MEDLINE file segment of TOXCENTER reloaded
 NEWS 25  MAR 03    FRANCEPAT now available on STN

 NEWS EXPRESS  MARCH 5 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 3 MARCH 2004
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
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 NEWS WWW      CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 23:56:52 ON 17 MAR 2004

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
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FULL ESTIMATED COST                                    0.21        0.21

FILE 'REGISTRY' ENTERED AT 23:56:57 ON 17 MAR 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   16 MAR 2004  HIGHEST RN 663883-43-0
DICTIONARY FILE UPDATES:  16 MAR 2004  HIGHEST RN 663883-43-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
L1      STRUCTURE UPLOADED

=>
L2      STRUCTURE UPLOADED

=> l2
L2 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d l1
L1 HAS NO ANSWERS
L1              STR

=> s l1
SAMPLE SEARCH INITIATED 23:59:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1109 TO ITERATE

 90.2% PROCESSED    1000 ITERATIONS                          0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        20183 TO    24177
PROJECTED ANSWERS:               0 TO        0

L3            0 SEA SSS SAM L1

=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 23:59:07 FILE 'REGISTRY'
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FULL SCREEN SEARCH COMPLETED -  23221 TO ITERATE

100.0% PROCESSED   23221 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.03

L4             0 SEA SSS FUL L1

=>
L5      STRUCTURE UPLOADED

=> d 15
L5 HAS NO ANSWERS
L5                STR
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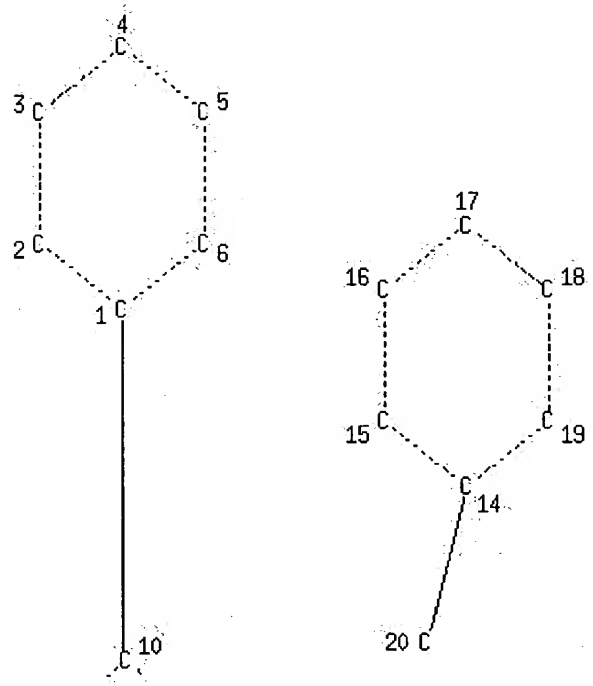
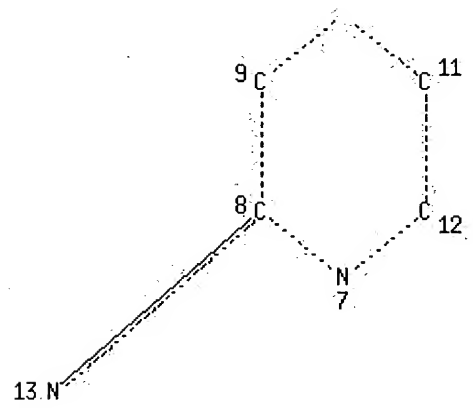


Page 1-A



Page 2-A

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NODE ATTRIBUTES:
NSPEC    IS R       AT   1
NSPEC    IS R       AT   2
NSPEC    IS R       AT   3
NSPEC    IS R       AT   4
NSPEC    IS R       AT   5
NSPEC    IS R       AT   6
NSPEC    IS R       AT   7
```

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NSPEC   IS R      AT   8
NSPEC   IS R      AT   9
NSPEC   IS R      AT  10
NSPEC   IS R      AT  11
NSPEC   IS R      AT  12
NSPEC   IS R      AT  13
NSPEC   IS R      AT  14
NSPEC   IS R      AT  15
NSPEC   IS R      AT  16
NSPEC   IS R      AT  17
NSPEC   IS R      AT  18
NSPEC   IS R      AT  19
NSPEC   IS C      AT  20
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS  AT  20
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  20

STEREO ATTRIBUTES: NONE


=> s l5
SAMPLE SEARCH INITIATED 00:01:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1403 TO ITERATE

 71.3% PROCESSED    1000 ITERATIONS                          39 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        25814 TO    30306
PROJECTED ANSWERS:             651 TO     1537

L6            39 SEA SSS SAM L5

=>
L7       STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7               STR
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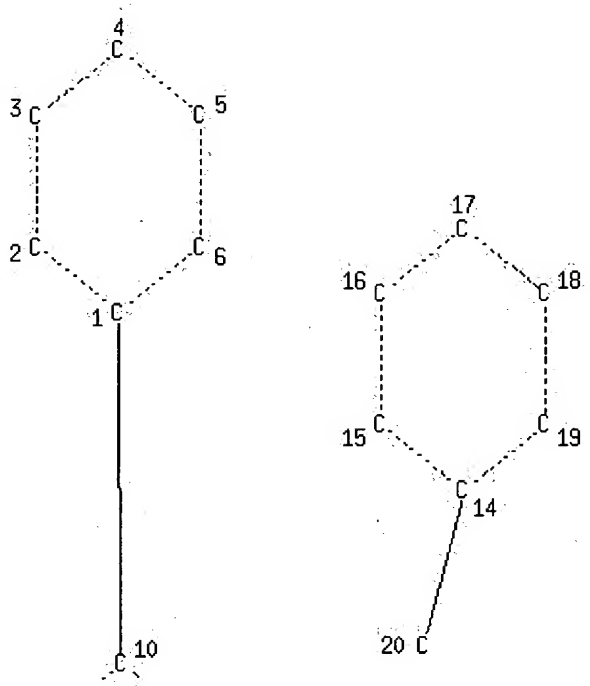
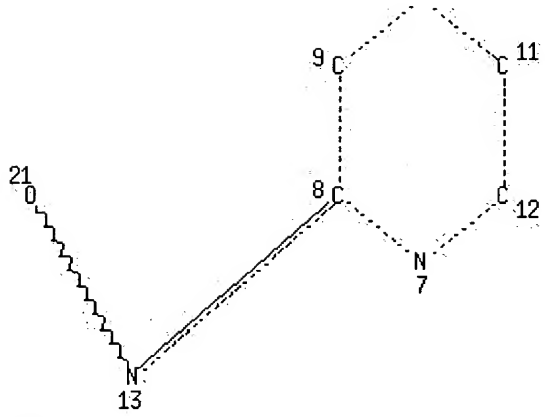


Page 1-A



Page 2-A

NODE ATTRIBUTES:

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NSPEC	IS R	AT	3
NSPEC	IS R	AT	4
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NSPEC	IS R	AT	13
NSPEC	IS R	AT	14
NSPEC	IS R	AT	15
NSPEC	IS R	AT	16
NSPEC	IS R	AT	17
NSPEC	IS R	AT	18
NSPEC	IS R	AT	19

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NSPEC   IS C      AT  20
NSPEC   IS C      AT  21
DEFAULT MLEVEL IS ATOM
MLEVEL  IS CLASS  AT  20 21
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  21

STEREO ATTRIBUTES: NONE


=> s l7
SAMPLE SEARCH INITIATED 00:03:04 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      8 TO ITERATE

100.0% PROCESSED       8 ITERATIONS                              3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            8 TO      329
PROJECTED ANSWERS:               3 TO      163

L8            3 SEA SSS SAM L7

=> s l7 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 00:03:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     73 TO ITERATE

100.0% PROCESSED      73 ITERATIONS                             22 ANSWERS
SEARCH TIME: 00.00.01

L9           22 SEA SSS FUL L7

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               314.20     314.41

FILE 'HCAPLUS' ENTERED AT 00:03:11 ON 18 MAR 2004
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FILE COVERS 1907 - 17 Mar 2004  VOL 140 ISS 12
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FILE LAST UPDATED: 16 Mar 2004 (20040316/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l9
L10 4 L9

=> d l10, ibib abs fhitstr, 1-4

L10 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2003:57902 HCAPLUS
DOCUMENT NUMBER: 138:117662
TITLE: Use of NK-1 receptor antagonists for the treatment of brain, spinal or nerve injury
INVENTOR(S): Hoffmann, Torsten; Nimmo, Alan John; Sleight, Andrew; Vankan, Pierre; Vink, Robert
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006016	A2	20030123	WO 2002-EP7323	20020703
WO 2003006016	A3	20030731		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003083345	A1	20030501	US 2002-187587	20020702

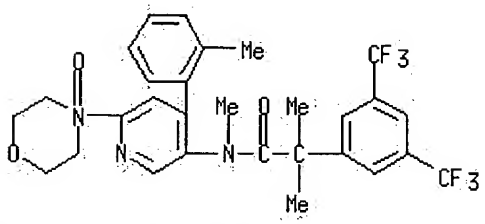
PRIORITY APPLN. INFO.: EP 2001-116812 A 20010710
OTHER SOURCE(S): MARPAT 138:117662

AB The invention discloses the use of an NK-1 receptor antagonist (Markush included), e.g. N-(3,5-bis-trifluoromethylbenzyl)-N-methyl-6-(4-methylpiperazin-1-yl)-4-o-tolylnicotinamide, optionally in combination with a magnesium salt, for the treatment and/or prevention of brain, spinal or nerve injury. The invention also relates to pharmaceutical compns. comprising one or more such NK-1 receptor antagonists, optionally in combination with a magnesium salt, and a pharmaceutically acceptable excipient, for the treatment and/or prevention of brain, spinal or nerve injury.

IT **391674-73-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NK-1 receptor antagonist for treatment of brain, spinal or nerve injury)

RN 391674-73-0 HCAPLUS

CN Benzeneacetamide, N,α,α-trimethyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

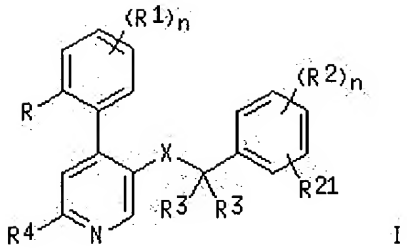
Full Text | Citing References

ACCESSION NUMBER: 2002:832668 HCAPLUS
DOCUMENT NUMBER: 137:337901
TITLE: Preparation and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia
INVENTOR(S): Buser, Susanne; Ford, Anthony P. D. W.; Hoffmann, Torsten; Lenz, Barbara; Sleight, Andrew John; Vankan, Pierre
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085458	A2	20021031	WO 2002-EP1085	20020202
WO 2002085458	A3	20031030		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1385577	A2	20040204	EP 2002-719751	20020202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003004157	A1	20030102	US 2002-71570	20020208

PRIORITY APPLN. INFO.: EP 2001-109853 A 20010423
WO 2002-EP1085 W 20020202

OTHER SOURCE(S): MARPAT 137:337901
GI



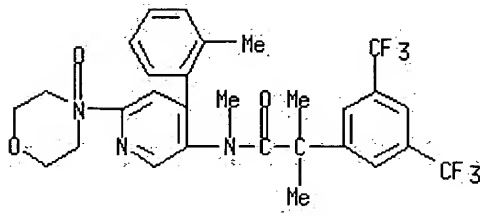
I

AB Use of an NK-1 receptor antagonist for the treatment or prevention of benign prostatic hyperplasia (BPH) is claimed. The preferred NK-1 receptor antagonists are compds. of the general formula [I; R = H, alkyl, alkoxy, halo, CF3; R1 = H, halo; RR1 = CH:CHCH:CH; R2, R21 = H , halo , CF3, alkyl, alkoxy, cyano; R2R21 = CH:CHCH:CH, optionally substituted by 1-2 alkyl, halo, alkoxy; R3 = H, alkyl; R3R3C = cycloalkyl; R4 = H, N(R5)2, NR5(CH2)nOH, cyclic tertiary amine, etc.; X = CONR5, (CH2)pO, NR5(CH2)p, etc.; R5 = H, cycloalkyl, Ph, PhCH2, alkyl; n = 0-4; p = 1-3]. Preferred compds. are 2-(3,5-bis-trifluoromethyl-phenyl)-N-methyl-N-(6-morpholin-4-yl-4-o-tolyl-pyridin-3-yl)isobutyramide, 3-(3,5-bis-trifluoromethyl-phenyl)-N-methyl-N-[6-(4-methyl-piperazin-1-yl)-4-o-tolyl-pyridin-3-yl]isobutyramide, 2-(3,5-bis-trifluoromethyl-phenyl)-N-[6-(1,1-dioxo-1λ6-thiomorpholin-4-yl)-4-o-tolyl-pyridin-3-yl]-N-methylisobutyramide, and 2-(3,5-bis-trifluoromethylphenyl)-N-[6-(1,1-dioxo-1λ6-thiomorpholin-4-yl)-4-(4-fluoro-2-methyl-phenyl)-pyridin-3-yl]-N-methylisobutyramide. Thus, 2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-(6-thiomorpholin-4-yl-4-o-tolylpyridin-3-yl)isobutyramide (prepn. given) oxone were stirred 2 days at room temp. to give 2-(3,5-bis-trifluoromethylphenyl)-N-[6-(1,1-dioxo-1λ6-thiomorpholin-4-yl)-4-o-tolylpyridin-3-yl]-N-methylisobutyramide. 2-(3,5-Bistrifluoromethylphenyl)-N-methyl-N-methyl-N-(6-morpholin-4-yl-4-o-tolylpyridin-3-yl)isobutyramide at 60 mg/kg/day orally in dogs reduced prostate wt. by 58% after 39 wk.

IT 391674-73-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. and use of amides as NK-1 receptor antagonists against benign prostatic hyperplasia)

RN 391674-73-0 HCAPLUS

CN Benzeneacetamide, N,α,α-trimethyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:157739 HCAPLUS
DOCUMENT NUMBER: 136:216651
TITLE: Preparation of 4-phenylpyridines as neurokinin-1 receptor antagonists

INVENTOR(S): Godel, Thierry; Hoffmann, Torsten; Schnider, Patrick; Stadler, Heinz
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016324	A1	20020228	WO 2001-EP8686	20010727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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AU 2002012118	A5	20020304	AU 2002-12118	20010727
EP 1309559	A1	20030514	EP 2001-980219	20010727
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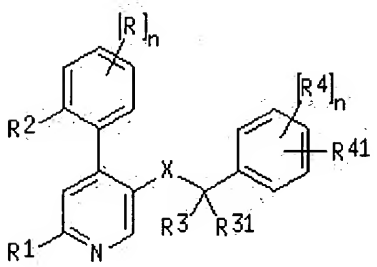
BR 2001013173	A	20030624	BR 2001-13173	20010727
JP 2004506718	T2	20040304	JP 2002-521200	20010727
US 2002040040	A1	20020404	US 2001-922066	20010803
NO 2003000632	A	20030207	NO 2003-632	20030207

PRIORITY APPLN. INFO.: EP 2000-117003 A 20000808
WO 2001-EP8686 W 20010727

OTHER SOURCE(S): MARPAT 136:216651
GI



I

AB The title compds. [I; R = H, halo; R1 = (C≡C)mR11, (CR'=CR'')mR11 (wherein R11 = halo, CN, aryl, etc.; R', R'' = H, OH, alkyl, etc.); R2 = H, alkyl, alkoxy, halo, CF3; R3, R31 = H, alkyl or form together with the C atom to which they are attached a cycloalkyl group; R4, R41 = H, halo, CF3, alkyl, alkoxy; R and R2 or R4 and R41 may be together CH=CHCH=CH, optionally substituted by one or two substituents selected from alkyl, halo or alkoxy; X = CONR8, (CH2)pO, (CH2)pNR8, NR8CO, NR8(CH2)p (wherein R8 = H, alkyl); n = 1-2; m = 0-4; p = 1-2] which are antagonists of the Neurokinin 1 (NK-1, substance P) receptor, and therefore useful in the treatment of diseases, related to this receptor, were prepd. and formulated. E.g., a multi-step synthesis of I [R = H; R1 = N(OH)CH2CH2OH;

R2 = Me; R3, R31 = Me; R4 = 3-CF3; R41 = 5-CF3; X = NMeCO] which showed pKi of 9.29 in human NK1 receptor assay, was given.

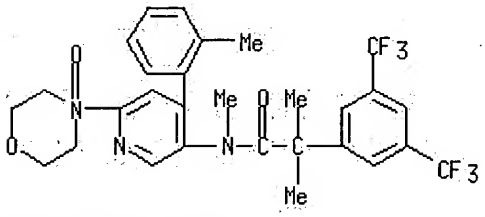
IT **391674-73-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 4-phenylpyridines as neurokinin-1 receptor antagonists)

RN 391674-73-0 HCAPLUS

CN Benzeneacetamide, N,α,α-trimethyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text | Citing References

ACCESSION NUMBER: 2002:72051 HCAPLUS
DOCUMENT NUMBER: 136:118387
TITLE: Preparation of N-oxides as NK1 receptor antagonist prodrugs of 4-phenylpyridine derivatives
INVENTOR(S): Hoffmann, Torsten; Poli, Sonia Maria; Schnider, Patrick; Sleight, Andrew
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006236	A1	20020124	WO 2001-EP7850	20010709
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1303490	A1	20030423	EP 2001-949475	20010709
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012475	A	20030729	BR 2001-12475	20010709
JP 2004504301	T2	20040212	JP 2002-512140	20010709
US 2002045642	A1	20020418	US 2001-904059	20010712
US 6593472	B2	20030715		
HR 2003000003	A1	20030228	HR 2003-3	20030102

US 2003149039	A1	20030807	US 2003-337543		20030107
NO 2003000154	A	20030113	NO 2003-154		20030113
US 2004014793	A1	20040122	US 2003-616276		20030709
US 2004048901	A1	20040311	US 2003-645895		20030821
PRIORITY APPLN. INFO.:			EP 2000-115287	A	20000714
			WO 2001-EP7850	W	20010709
			US 2001-904059	A3	20010712
			US 2003-337543	A3	20030107

OTHER SOURCE(S): MARPAT 136:118387

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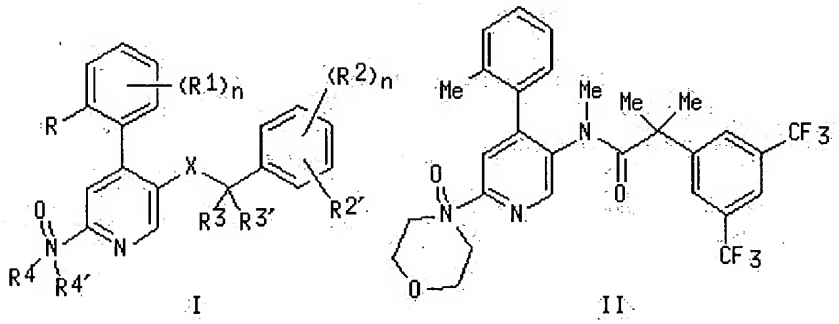


I II

AB The prepn. is described for N-oxides (I) wherein R is hydrogen, lower alkyl, lower alkoxy, or trifluoromethyl; R1 is hydrogen or halogen; or R and R1 may be together with the ring carbon atoms to which they are attached -CH=CH-CH=CH-; R2 and R2' are independently from each other hydrogen, halogen, trifluoromethyl, lower alkoxy or cyano; or R2 and R2' may be together -CH=CH-CH=CH-, optionally substituted by one or two substituents selected from lower alkyl or lower alkoxy; R3, R3' are independently from each other hydrogen, lower alkyl or cycloalkyl; R4, R4' are independently from each other -(CH2)mOR6 or lower alkyl; or R4 and R4' form together with the N-atom to which they are attached a cyclic tertiary amine with substituent R5 chosen from hydrogen, hydroxy, lower alkyl, -lower alkoxy, -(CH2)mOH, -COOR3, -CON(R3)2,-N(R3)CO-lower alkyl or -C(O)R3; R6 is hydrogen, lower alkyl or phenyl; X is -C(O)N(R6)-, -N(R6)C(O)-, -(CH2)mO- or -O(CH2)m-; n is 0, 1, 2, 3 or 4 and; m is 1, 2, or 3; and to their pharmaceutically acceptable acid addn. salts. These compds. may be uses as prodrugs for the treatment or prevention of illnesses, related to the NK1 receptor. Thus, 2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-[6-(4-oxymorpholin-4-yl)-4-o-tolylpyridin-3-yl]isobutyramide (II) and related compds. were prepd. in multistep procedures.

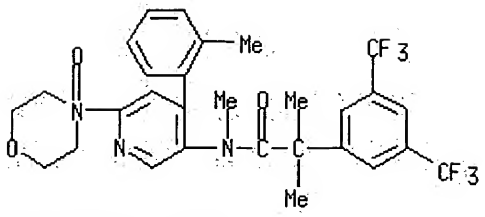
IT **391674-73-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminopyridine N-oxides as NK1 receptor antagonist prodrugs of 4-phenylpyridine derivs.)

RN 391674-73-0 HCAPLUS

CN Benzeneacetamide, N,α,α-trimethyl-N-[4-(2-methylphenyl)-6-(4-oxido-4-morpholinyl)-3-pyridinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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